

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY


(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

REC'D 12 OCT 2005

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Applicant's or agent's file reference ET0027PCT		FOR FURTHER ACTION		See Form PCT/PEA/416
International application No. PCT/EP2004/008516		International filing date (day/month/year) 29.07.2004		Priority date (day/month/year) 30.07.2003
International Patent Classification (IPC) or national classification and IPC A61K31/404, C07D209/40, A61P3/04, A61P25/00, C07D401/04, C07D471/04				
Applicant LABORATORIOS DEL DR. ESTEVE S.A. et al.				
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 5 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input checked="" type="checkbox"/> sent to the applicant and to the International Bureau) a total of 19 sheets, as follows:</p> <p><input checked="" type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>				
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the opinion</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input checked="" type="checkbox"/> Box No. VIII Certain observations on the international application</p>				
Date of submission of the demand 28.02.2005		Date of completion of this report 11.10.2005		
Name and mailing address of the International preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465		Authorized Officer Seymour, L Telephone No. +49 89 2399-8694		

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**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/EP2004/008516

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4)
 - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

Description, Pages

1-48 as originally filed

Claims, Numbers

1-74 received on 02.06.2005 with letter of 30.05.2005

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing (*specify*):
 - ☐ any table(s) related to sequence listing (*specify*):
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing (*specify*):
 - ☐ any table(s) related to sequence listing (*specify*):

* If item 4 applies, some or all of these sheets may be marked "superseded."

**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/EP2004/008516

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	1-74
	No: Claims	
Inventive step (IS)	Yes: Claims	1-74
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-74
	No: Claims	

2. Citations and explanations (Rule 70.7):

see separate sheet

Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

see separate sheet

Re Item V

1. The following documents are referred to in this communication:

D1: WO-A-02 051837 D2: WO-A-01 12629 D3: WO-A-02 060871

2. Novelty (Article 33(2) PCT)

The compounds of D1 differ from the present compounds owing to the definition of R₅, which may not be a non-aromatic ring.

The compounds of D2 differ from the present compounds owing to the -SO₂-Ar substituent at position 1 of the indole ring.

The compounds of D3 differ from the present compounds e.g. owing to the benzenesulfonic acid substituent at position 5 of the indole ring.

3. The present application is considered as involving an inventive step (Article 33(3) PCT).

The problem underlying the present application lies in the provision of further indole derivatives effective in the treatment of disorders related to the 5-HT₆ receptor.

Document D1 discloses 5-HT₆ receptor ligands, which differ from the present compounds in that the substituent at position 1 of the indole ring is -SO₂-Ar rather than the present -SO₂-CH(A)(B) wherein A and B form a saturated or unsaturated, but not aromatic cycloalkyl ring. D1 itself teaches that the Ar group may be replaced by C₁-C₆ alkyl (see D1, claim 1), but not with cycloalkyl. In D2 and D3 there is also no hint that the aryl group of D1 may be exchanged for a cycloalkyl group as a solution to the above-mentioned problem.

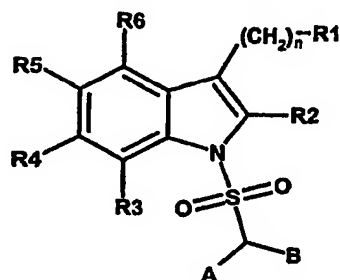
Representative data for the present compounds is given on page 47 of the description. It is therefore credible that the above-mentioned problem has actually been solved.

Re Item VIII

1. Although claims 1 and 10 have been drafted as separate independent claims, they appear to relate effectively to the same subject-matter. The aforementioned claims therefore lack conciseness and as such do not meet the requirements of Article 6 PCT.
2. The reason for the proviso found in claim 1 is not clear (Article 6 PCT; see also Rule 5.1(a)(ii) PCT).
3. The optional features in the claims, i.e. the definitions following the term "preferably" (see e.g. claims 2, 3, 11, 12, 15, 48), have no limiting effect on said claims. For the sake of clarity (Article 6 PCT) these preferred embodiments should therefore be claimed in separate dependent claims (Rule 6.4 PCT).
4. Claims 1 and 10 lack conciseness (Article 6 PCT) since the formulae Ia and Ib include a linker $(CH_2)_n$ although $n = 0$ i.e. the linker does not exist.
5. The description is not in conformity with the claims as required by Rule 5.1(a)(iii) PCT (see reference in description to formula Ic).

CLAIMS

1.- Sulfonamide compounds of general formula (Ia),



(Ia)

5 wherein

10 R¹ represents a -NR⁷R⁸ radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

15 R², R³, R⁴, R⁵ and R⁶, identical or different, each represent hydrogen, halogen, cyano, nitro, a saturated or unsaturated, linear or branched aliphatic radical, a linear or branched alkoxy radical, a linear or branched alkylthio radical, hydroxy, trifluoromethyl, a saturated or unsaturated cycloaliphatic radical, an alkylcarbonyl radical, a phenylcarbonyl or a -NR⁹R¹⁰ group,

20 R⁷ and R⁸, identical or different, each represent hydrogen or a saturated or unsaturated, optionally at least mono-substituted linear or branched aliphatic radical,

with the proviso that R^8 and R^9 are not hydrogen at the same time, and if one of them, R^8 or R^9 , is a saturated or unsaturated, linear or branched, optionally at least mono-substituted C_1 - C_4 aliphatic radical, the other one is a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical with at least five carbon atoms,

or

R^7 and R^8 , together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted, optionally at least one further heteroatom as a ring member containing heterocyclic ring which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

R^9 and R^{10} , identical or different, each represent hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

or

R^9 and R^{10} , together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted, optionally at least one further heteroatom as a ring member containing heterocyclic ring which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

A and B, together with the carbon atom to which they are bonded, form a saturated or unsaturated, but not aromatic, optionally at least mono-substituted cycloalkyl ring,

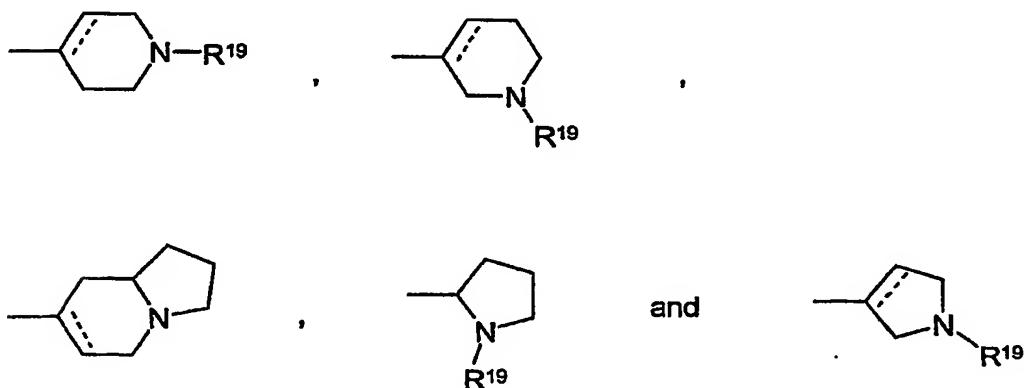
and

n is 0,

optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemate or in form of a mixture of at least two of their stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding physiologically acceptable salt thereof or a corresponding solvate thereof.

2. The compounds according to claim 1, characterized in that R^1 represents a NR^7R^8 radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing 5- or 6-membered cycloaliphatic radical, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system, whereby the rings of the ring system are 5- or 6-membered,

preferably a NR^7R^8 radical or a radical chosen from the group consisting of



wherein, if present, the dotted line represents an optional chemical bond, and R^{19} represents hydrogen, a linear or branched C_1 - C_6 alkyl radical or a benzyl radical, preferably hydrogen or a C_1 - C_2 alkyl radical.

3.- The compounds according to claim 1 or 2, characterized in that R^2 , R^3 , R^4 , R^5 and R^6 , identical or different, each represent hydrogen, F, Cl, Br, cyano, nitro, a linear or branched C_{1-6} alkyl radical, a linear or branched C_{2-6} alkenyl radical, a linear or branched C_{2-6} alkynyl radical, a linear or branched C_{1-6} alkoxy, a linear or branched C_{1-6} alkylthio, hydroxy, trifluoromethyl, a saturated or unsaturated C_{3-8} cycloaliphatic radical, a linear or branched C_{1-6} alkylcarbonyl radical, phenylcarbonyl or an $-NR^9R^{10}$ group,

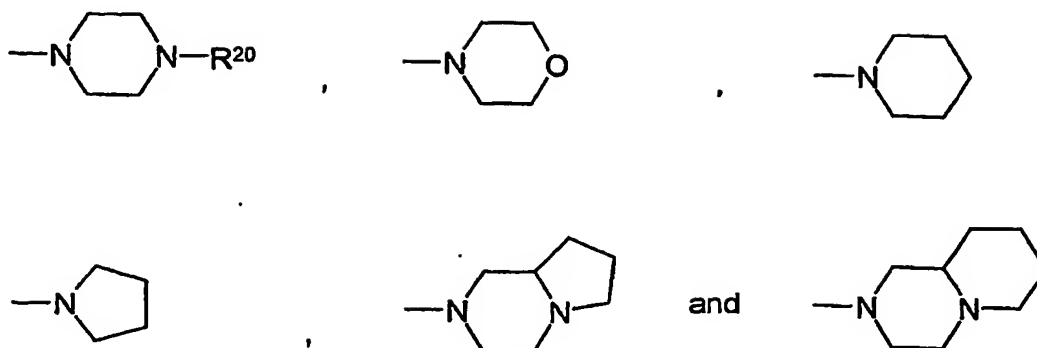
preferably H, F, Cl, NO_2 , NH_2 or a C_{1-2} alkyl radical.

4.- The compounds according to one or more of claims 1 to 3, characterized in that R^7 and R^8 , identical or different, each represent hydrogen, a linear or branched, optionally at least mono-substituted C_{1-10} alkyl radical, a linear or branched, optionally at least mono-substituted, C_{2-10} alkenyl radical, or a linear or branched, optionally at least mono-substituted, C_{2-10} alkynyl radical or

R^7 and R^8 , together with the bridging nitrogen form a saturated or unsaturated, optionally at least mono-substituted, optionally at least one further heteroatom as a ring member containing 5- or 6-membered heterocyclic ring which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system, whereby the rings of the ring system are 5- 6- or 7-membered.

5.- The compounds according to claim 4, characterized in that R^7 and R^8 , identical or different, each represent hydrogen or a linear or branched C_{1-10} alkyl radical or

R^7 and R^8 , together with the bridging nitrogen atom form a radical chosen from the group consisting of



wherein R^{20} , if present, is hydrogen, a linear or branched C_1 - C_6 alkyl radical or a benzyl radical, preferably hydrogen, or a C_1 - C_2 alkyl radical.

5

- 6.- The compounds according to one or more of claims 1 to 5, characterized in that R^9 and R^{10} , identical or different, each represent hydrogen, a linear or branched, optionally at least mono-substituted C_1 - C_{10} alkyl radical, a linear or branched, optionally at least mono-substituted C_2 - C_{10} alkenyl radical or a linear or branched, optionally at least mono-substituted C_2 - C_{10} alkynyl radical or

10

15

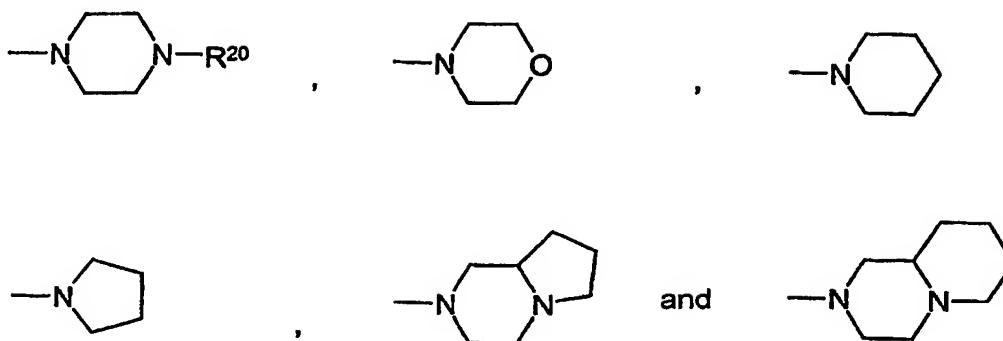
R^9 and R^{10} , together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted, optionally at least one further heteroatom as a ring member containing 5- or 6-membered heterocyclic ring, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system whereby the rings of the ring system are 5- 6- or 7-membered.

20

- 7.- The compounds according to claim 6, characterized in that R^9 and R^{10} , identical or different, each represent hydrogen or a linear or branched C_1 - C_{10} alkyl radical, or

25

R^9 and R^{10} , together with the bridging nitrogen atom form a radical chosen from a group consisting of



wherein R^{20} , if present, is hydrogen, a linear or branched C_1 - C_6 alkyl radical or a benzyl radical, preferably hydrogen, or a C_1 - C_2 alkyl radical.

8.- The compounds according to one or more of claims 1-7, characterized in that A and B, together with the carbon atom to which they are bonded, form a C_3 - C_8 cycloalkyl ring, preferably a cyclohexyl ring.

9.- The compounds according to one or more of claims 1-8, characterized in that the compound is selected from a group consisting of

[1] 1-Cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-5-nitro-1H-indole,

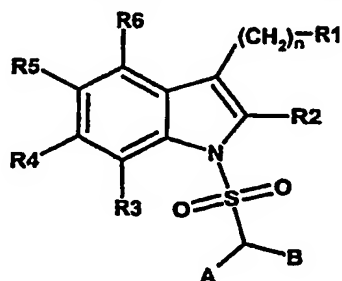
[2] 5-Chloro-1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-1H-indole,

[3] 5-Amino-1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-1H-indole and

[4] 1-Cyclohexanesulfonyl-5-fluoro-3-(1,2,3,5,8,8a-hexahydro-indolizine-7-yl)-1H-indole hydrochloride

and their corresponding salts and solvates.

10.- Sulfonamide compounds of general formula (Ib),



(Ib)

5 wherein

R^1 is a $-NR^7R^8$ radical,

10 R^2 , R^3 , R^4 , R^5 and R^6 , identical or different, each represent hydrogen, halogen, cyano, nitro, a saturated or unsaturated, linear or branched aliphatic radical, a linear or branched alkoxy radical, a linear or branched alkylthio radical, hydroxy, trifluoromethyl, a saturated or unsaturated cycloaliphatic radical, an alkylcarbonyl radical, a phenylcarbonyl or a $-NR^9R^{10}$ group,

15 R^7 and R^8 , identical or different, each represent hydrogen or a saturated or unsaturated, optionally at least mono-substituted linear or branched C_{1-4} aliphatic radical,

20 R^9 and R^{10} , identical or different, each represent hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

or

25 R^9 and R^{10} , together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted, optionally at least one further heteroatom as a ring member containing heterocyclic ring which may be condensed with a saturated or unsaturated, optionally at least mono-

substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

A and B, together with the carbon atom to which they are bonded, form a saturated or unsaturated, but not aromatic, optionally at least mono-substituted cycloalkyl ring,

and

n is 0;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, their racemate or in form of a mixture of at least two of their stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding physiologically acceptable salt thereof or a corresponding solvate thereof.

11.- The compounds according to claim 10, characterized in that R^2 , R^3 , R^4 , R^5 and R^6 , identical or different, each represent hydrogen, F, Cl, Br, cyano, nitro, a linear or branched C_1 - C_6 alkyl radical, a linear or branched C_2 - C_6 alkenyl radical, a linear or branched C_2 - C_6 alkynyl radical, a linear or branched C_1 - C_6 -alkoxy, a linear or branched C_1 - C_6 -alkylthio, hydroxy, trifluoromethyl, a saturated or unsaturated C_3 - C_8 cycloaliphatic radical, a linear or branched C_1 - C_6 -alkylcarbonyl radical, phenylcarbonyl or an $-NR^9R^{10}$ group,

preferably H, F, Cl, NO_2 , NH_2 or a C_1 - C_2 alkyl radical.

12.- The compounds according to claim 10 or 11, characterized in that R^7 and R^8 , identical or different, wherein R^7 and R^8 , identical or different, each represent hydrogen, a linear or branched, optionally at least mono-substituted C_1 - C_4 alkyl radical,

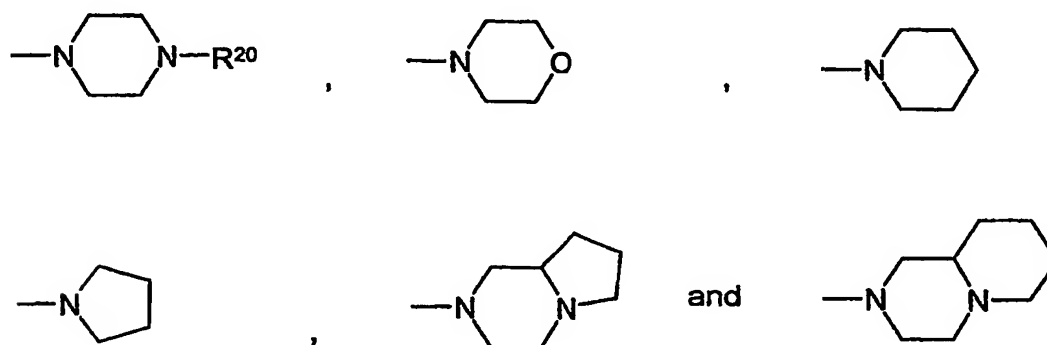
preferably hydrogen or a C_1 - C_2 alkyl radical, with the proviso that R^7 and R^8 are not hydrogen at the same time.

13.- The compounds according to one or more of claims 10 to 12, characterized in that R^9 and R^{10} , identical or different, each represent hydrogen, a linear or branched, optionally at least mono-substituted C_1 - C_{10} alkyl radical, a linear or branched, optionally at least mono-substituted C_2 - C_{10} alkenyl radical, or a linear or branched, optionally at least mono-substituted C_2 - C_{10} alkynyl radical or

R^9 and R^{10} , together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted, optionally at least one further heteroatom as a ring member containing 5- or 6-membered heterocyclic which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system, whereby the rings of the ring system are 5- 6- or 7-membered.

14.- The compounds according to claim 13, characterized in that R^9 and R^{10} , identical or different, each represent hydrogen or a linear or branched C_1 - C_{10} alkyl radical, or

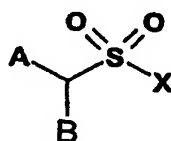
R^9 and R^{10} , together with the bridging nitrogen atom form a radical chosen from a group consisting of



wherein R^{20} , if present, represents hydrogen, a linear or branched C_1 - C_6 alkyl radical or a benzyl radical, preferably hydrogen, or a C_1 - C_2 alkyl radical.

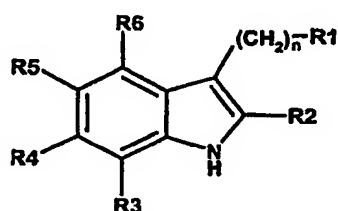
15.- The compounds according to one or more of claims 10 to 14, characterized in that A and B, together with the carbon atom to which they are bonded, form a C₃-C₈ cycloalkyl ring, preferably a cyclohexyl ring.

16.- A process for obtaining a sulfonamide derivative of general formula (Ia) and/or (Ib), according to one or more of claims 1 to 15, characterized in that at least one compound of general formula (II), or one of its suitably protected derivatives,



(II)

wherein A and B have the meaning according to one or more of claims 1 to 15 and X is an acceptable leaving group, preferably an halogen atom, more preferably chlorine, is reacted with at least one substituted indole of general formula (III)



(III)

wherein R¹-R⁶ and n have the meaning according to one or more of claims 1 to 15, or one of their suitable protected derivatives, and, if necessary, the protective groups are removed.

- 17.- A process for obtaining a sulfonamide derivative of general formula (Ia) and/or (Ib) according to one or more of claims 1-15, wherein one or more substituents R^2 , R^3 , R^4 , R^5 or R^6 represent a nitro group, characterized in that a sulfonamide derivative of corresponding general formula (Ia) and/or (Ib) is reduced to a sulfonamide derivative of corresponding general formula (Ia) and/or (Ib), wherein one or more substituents R^2 , R^3 , R^4 , R^5 or R^6 represent an amino group.
- 18.- A process for preparing the salts, preferably the physiologically acceptable salts of the compounds of general formula (Ia) and/or (Ib), according to one or more of claims 1 to 15, consisting of reacting at least one compound of the general formula (Ia) and/or at least one compound of the general formula (Ib) with a mineral acid or organic acid in a suitable solvent.
- 19.- A medicament comprising at least one compound according to one or more of claims 1 to 9 and optionally one or more pharmacologically acceptable excipients.
- 20.- A medicament according to claim 19, for 5-HT₆ receptor regulation, for the prophylaxis and/or treatment of a disorder or disease related to food intake, preferably for the regulation of appetite, for the maintenance, increase or reduction of body weight, for the prophylaxis and/or treatment of obesity, bulimia, anorexia, cachexia or type II diabetes (non insulin dependent diabetes mellitus), preferably type II diabetes caused by obesity, for the prophylaxis and/or treatment of gastrointestinal tract disorders, preferably irritable bowel syndrome, for cognitive enhancement, for the prophylaxis and/or treatment of disorders of the central nervous system, anxiety, panic disorders, depression, preferably bipolar disorders, cognitive memory disorders, senile dementia processes, neurodegenerative disorders, preferably Alzheimer's disease, Parkinson's disease, Huntington's disease and/or multiple sclerosis, schizophrenia, psychosis or infantile hyperkinesia (ADHD, attention deficit / hyperactivity disorder),

preferably for 5-HT₆ receptor regulation, for the prophylaxis and/or treatment of a disorder or disease related to food intake, preferably for the regulation of appetite, for the maintenance, increase or reduction of body weight, for the prophylaxis and/or treatment of obesity, bulimia, anorexia, cachexia or type II diabetes (non insulin dependent diabetes mellitus), preferably type II diabetes caused by obesity, for the prophylaxis and/or treatment of gastrointestinal tract disorders, preferably irritable bowel syndrome.

- 21.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for 5-HT₆ receptor regulation.
- 22.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of a disorder or disease related to food intake.
- 23.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the regulation of appetite.
- 24.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the maintenance, increase or reduction of body weight.
- 25.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of obesity.
- 26.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of bulimia.
- 27.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament the prophylaxis and/or treatment of anorexia.

- 28.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of cachexia.
- 29.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of type II diabetes (non insulin dependent diabetes mellitus), preferably type II diabetes caused by obesity.
- 30.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the manufacture of a medicament for the prophylaxis and/or treatment of gastrointestinal tract disorders.
- 31.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of irritable bowel syndrome.
- 32.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of anxiety.
- 33.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of depression.
- 34.- The use of at least one compound according to one more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of bipolar disorders.
- 35.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of cognitive memory disorders.

- 36.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of senile dementia processes.
- 5 37.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of Alzheimer's Disease.
- 10 38.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of Parkinson's Disease.
- 15 39.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of Huntington's Disease.
- 20 40.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of Multiple Sclerosis.
- 41.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of dementias in which a cognitive deficit predominates.
- 25 42.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of psychosis.
- 30 43.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of infantile hyperkinesia (ADHD, attention deficit / hyperactivity disorder).

- 44.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of disorders of the central nervous system.
- 5 45.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for the prophylaxis and/or treatment of schizophrenia.
- 10 46.- The use of at least one compound according to one or more of claims 1 to 9 for the manufacture of a medicament for cognitive enhancement.
- 15 47. A medicament comprising at least one compound according to one or more of claims 9 to 15 and optionally at least one or more of pharmacologically acceptable excipients.
- 20 48.- A medicament according to claim 47 for 5-HT₆ receptor regulation, for the prophylaxis and/or treatment of a disorder or disease related to food intake, preferably for the regulation of appetite, for the maintenance, increase or reduction of body weight, for the prophylaxis and/or treatment of obesity, bulimia, anorexia, cachexia or type II diabetes (non insulin dependent diabetes mellitus), preferably type II diabetes caused by obesity, for the prophylaxis and/or treatment of gastrointestinal tract disorders, preferably irritable bowel syndrome, for cognitive enhancement, for the prophylaxis and/or treatment of disorders of the central nervous system, anxiety, panic disorders, depression, preferably bipolar disorders, cognitive memory disorders, senile dementia processes, neurodegenerative disorders, preferably Alzheimer's disease, Parkinson's disease, Huntington's disease and/or multiple sclerosis, schizophrenia, psychosis or infantile hyperkinesia (ADHD, attention deficit / hyperactivity disorder),
- 25 preferably for cognitive enhancement, for the prophylaxis and/or treatment of disorders of the central nervous system, anxiety, panic disorders, depression, preferably bipolar disorders, cognitive memory disorders, senile dementia processes, neurodegenerative disorders, preferably Alzheimer's disease,
- 30

Parkinson's disease, Huntington's disease and multiple sclerosis, schizophrenia, psychosis or infantile hyperkinesia (ADHD, attention deficit / hyperactivity disorder).

- 5 49.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for 5-HT₆ receptor regulation.
- 10 50.- The use of at least one compound according to one or more of claims 9 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of a disorder or disease related to food intake.
- 15 51.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the regulation of appetite.
- 20 52.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the maintenance, increase or reduction of body weight.
- 25 53.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of obesity.
- 30 54.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of bulimia.
- 55.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of anorexia.
- 56.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of cachexia.

- 57.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of type II diabetes (non-insulin-dependent diabetes mellitus), preferably type II diabetes caused by obesity.
- 58.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of gastrointestinal tract disorders.
- 59.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of irritable bowel syndrome.
- 60.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of anxiety.
- 61.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of depression.
- 62.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of bipolar disorders.
- 63.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of cognitive memory disorders.
- 64.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of senile dementia processes.

- 65.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of Alzheimer's Disease.
- 5 66.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of Parkinson's Disease.
- 10 67.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of Huntington's Disease.
- 15 68.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of Multiple Sclerosis.
- 20 69.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of dementias in which a cognitive deficit predominates.
- 70.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of psychosis.
- 25 71.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of infantile hyperkinesia (ADHD, attention deficit / hyperactivity disorder).
- 30 72.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of disorders of the central nervous system.

73.- The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for the prophylaxis and/or treatment of schizophrenia.

5 74. - The use of at least one compound according to one or more of claims 10 to 15 for the manufacture of a medicament for cognitive enhancement.

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